

Applicant : Nicos A. Petasis and Ilia A. Zavialov  
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Filed : October 27, 2000  
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Attorney's Docket No.: 06666-005002 / USC 2616

Amendments to the Claims:

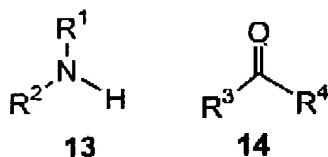
This listing of claims replaces all prior versions and listings of claims in the application:

Listing of Claims:

1-11. (Canceled).

12. (currently amended) A combinatorial library ~~including~~ of a plurality of compounds, wherein one or more of the plurality of compounds in the combinatorial library ~~being~~ are prepared by a process comprising:

providing compounds of formula 13 and formula 14

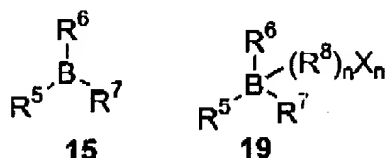


where  $\text{R}^1$  and  $\text{R}^2$  are each independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, acyl, acylalkyl, carboxy, carboxamido, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, triarylsilyl, phosphinyl, and -YR, where Y is selected from the group consisting of -O-, -NR<sub>a</sub>-, -S-, -SO-, and -SO<sub>2</sub>-, and R and R<sub>a</sub> are each independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, and acyl, or  $\text{R}^1$  and  $\text{R}^2$  together form a methylene bridge of 2 to 20 carbon atoms; and where  $\text{R}^3$  and  $\text{R}^4$  are each independently selected from the group consisting of hydrogen, carboxy, carboxamido, alkyl, cycloalkyl, aryl and heteroaryl provided that the compound of formula 14 is not paraformaldehyde;

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providing compounds of formula 15 or formula 19



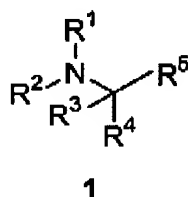
where R<sup>5</sup> is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, alkynyl and allenyl; R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are selected from the group consisting of hydroxy, alkoxy, aryloxy, heteroaryloxy, chloro, bromo, fluoro, iodo, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl, or together form a methylene bridge of 3 to 7 atoms; X is a positive counter ion, and n is 0 or 1;

mixing said compounds of formula 13, formula 14, and formula 15 or 19 to form a reaction mixture; and

allowing the reaction mixture to react to form the compound in the combinatorial library.

13-17. (Canceled).

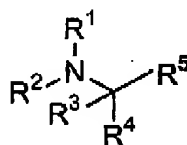
18. (Previously presented) The combinatorial library of claim 12, wherein: the combinatorial library includes a plurality of compounds of formula 1:



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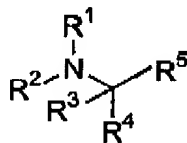
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19. (Previously presented) The combinatorial library of claim 12, wherein:  
said combinatorial library is prepared by reacting a plurality of different compounds of one or more of formula 13, formula 14, formula 15 and/or formula 19 to generate a plurality of compounds of formula 1:



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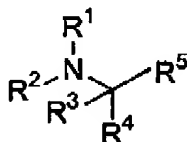
20. (Previously presented) The combinatorial library of claim 12, wherein:  
said combinatorial library includes a mixture of different compounds of formula 1:



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said mixture being prepared from a reaction mixture including a plurality of different compounds of one or more of formula 13, formula 14, formula 15, and/or formula 19.

21. (Previously presented) The combinatorial library of claim 18, wherein:  
said combinatorial library includes a plurality of different compounds of formula 1:



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each of the plurality of different compounds of formula 1 being located at a different position in an array.

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Claims 22-28. (Cancelled).

29. (Previously presented) The combinatorial library of claim 12, wherein:

mixing the compounds of formula 13, formula 14 and formula 15 or 19 to form a reaction mixture includes mixing the compounds of formula 13, formula 14 and formula 15 or 19 in the presence of air.

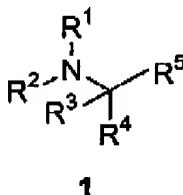
Claims 30-33. (Canceled).

34. (Withdrawn) The combinatorial library of claim 12, wherein:

said reaction mixture includes at least one compound of formula 14 for which R<sup>3</sup> is hydroxyaryl and at least one of the compounds of formula 1 is an amino phenol.

35. (Previously presented) The combinatorial library of claim 12, wherein:

at least one of the compounds of formula 13, 14, 15 or 19 is chiral and the combinatorial library includes at least one of the compounds of formula 1 that is produced stereoselectively:



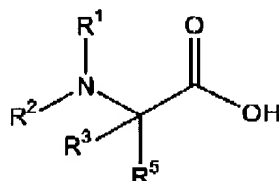
36. (Previously presented) The combinatorial library of claim 19, wherein:

said combinatorial library is further prepared by transforming one or more of the plurality of compounds of formula 1 to generate the combinatorial library.

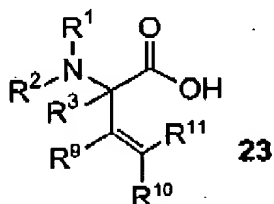
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37. (Previously presented) The combinatorial library of claim 12, wherein:  
 the combinatorial library includes a plurality of  $\alpha$ -amino acid derivatives of formula:

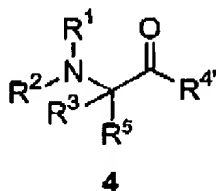


38. (currently amended) The combinatorial library of claim ~~37~~12, wherein:  
 the combinatorial library includes a plurality of  $\beta,\gamma$ -unsaturated- $\alpha$ -amino acid derivatives of formula 23:



where  $R^9$ ,  $R^{10}$  and  $R^{11}$  are selected from the group consisting of hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, alkenyl, alkynyl, allenyl, alkoxy, aryloxy, heteroaryloxy, chloro, bromo, fluoro, iodo, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, and acylthio; ~~provided that  $R^{10}$  and  $R^{11}$  are not both hydrogen~~

39. (Previously presented) The combinatorial library of claim 12, wherein:  
 the combinatorial library includes a plurality of  $\alpha$ -amino carbonyl derivatives of formula 4:

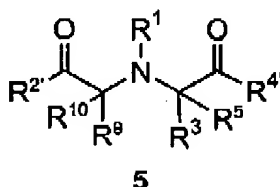


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where  $R^{4'}$  is selected from the group consisting of hydrogen, hydroxy, alkoxy, aryloxy, heteroaryloxy, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl.

40. (Withdrawn) The combinatorial library of claim 12, wherein:  
the combinatorial library includes a plurality of N-carboxymethyl amino acid derivatives of formula 5:



where  $R^{2'}$  is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, hydroxy, alkoxy, aryloxy, heteroaryloxy, acyl, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, alkylthio, arylthio, acylthio, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, triarylsilyl, phosphinyl, alkylsulfonyl and arylsulfonyl, and  $R^1$  and  $R^{2'}$  can be connected together to form a bridge of 2 to 20 atoms;

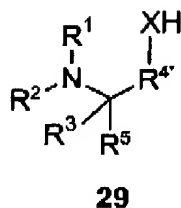
$R^{4'}$  is selected from the group consisting of hydrogen, hydroxy, alkoxy, aryloxy, heteroaryloxy, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl; and

$R^9$  and  $R^{10}$  are selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, acyl and carboxy, and  $R^9$  and  $R^{10}$  can be connected together or with other groups to form a bridge of 3 to 7 atoms.

41. (Withdrawn) The combinatorial library of claim 12, wherein:  
the combinatorial library includes a plurality of 1,2-diamines and/or 1,2-amino alcohols of formula 29:

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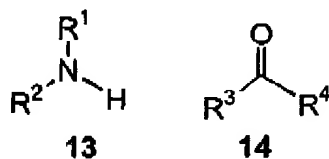
where R<sup>4'</sup> includes at least one carbon atom; and

X is selected from the group consisting of -O-, -NR<sub>a</sub>-, and -S-, where R<sub>a</sub> is selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, acyl, hydroxy, alkoxy, aryloxy, heteroaryloxy, amino, alkylamino, dialkylamino, and acylamino.

42. (Withdrawn) The combinatorial library of claim 12, wherein:  
 the compound of formula 14 is a carbohydrate selected from the group consisting of ribose, arabinose, xylose and arabinose; and  
 the combinatorial library includes a plurality of amino sugars.

43. (Previously presented) The combinatorial library of claim 12, wherein:  
 one of the compounds of formula 13, 14, or 15 or 19 is connected to a solid support.

44. (New) The combinatorial library of claim 12, wherein the plurality of compounds in the combinatorial library are prepared by a process comprising:  
 providing compounds of formula 13 and formula 14

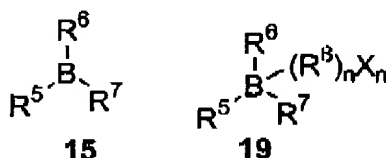


where R<sup>1</sup> and R<sup>2</sup> are each independently selected from the group consisting of hydrogen, alkyl, cycloalkyl, aryl, heteroaryl, acyl, acylalkyl, carboxy, carboxamido, trialkylsilyl, aryldialkylsilyl, diarylalkylsilyl, triarylsilyl,

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phosphinyl, and -YR, where Y is selected from the group consisting of -O-, -NR<sub>a</sub>-, -S-, -SO-, and -SO<sub>2</sub>-, and R and R<sub>a</sub> are each independently selected from the group consisting of hydrogen, alkyl, aryl, heteroaryl, and acyl, or R<sup>1</sup> and R<sup>2</sup> together form a methylene bridge of 2 to 20 carbon atoms; and where R<sup>3</sup> and R<sup>4</sup> are each independently selected from the group consisting of hydrogen, carboxy, carboxamido, alkyl, cycloalkyl, aryl and heteroaryl provided that the compound of formula 14 is not paraformaldehyde; providing compounds of formula 15 or formula 19



where R<sup>5</sup> is selected from the group consisting of alkyl, cycloalkyl, aryl, heteroaryl, alkynyl and allenyl; R<sup>6</sup>, R<sup>7</sup> and R<sup>8</sup> are selected from the group consisting of hydroxy, alkoxy, aryloxy, heteroaryloxy, chloro, bromo, fluoro, iodo, carboxy, amino, alkylamino, dialkylamino, acylamino, carboxamido, thio, alkylthio, arylthio, acylthio, alkyl, cycloalkyl, aryl, and heteroaryl, or together form a methylene bridge of 3 to 7 atoms; X is a positive counter ion, and n is 0 or 1;

mixing said compounds of formula 13, formula 14, and formula 15 or 19 to form a reaction mixture; and

allowing the reaction mixture to react to form the compound in the combinatorial library.